

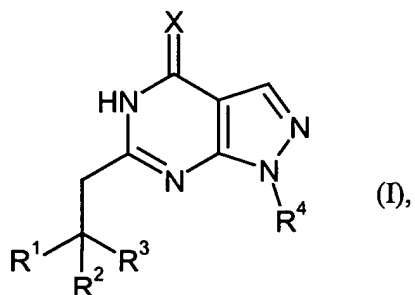
New Claims (Attorney Docket No. LeA 36 231)

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14. (New) The process of claim 6, where in the sulfurizing agent is diphosphorus pentasulfide.

## Amended Claims (Attorney Docket No. LeA 36 231)

1. (Original) A compound of the formula



in which

$R^1$  is  $C_1$ - $C_6$ -alkyl, trifluoromethyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ , where  $C_1$ - $C_6$ -alkyl is optionally substituted by 1 to 3 radicals independently of one another selected from the group of hydroxy,  $C_1$ - $C_6$ -alkoxy, halogen, trifluoromethyl, trifluoromethoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ , and

$R^5$  is  $C_1$ - $C_6$ -alkyl,

$R^6$  and  $R^7$  are independently of one another hydrogen,  $C_6$ - $C_{10}$ -aryl,  $C_1$ - $C_6$ -alkyl, or

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

$R^2$  is hydrogen,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_1$ - $C_6$ -alkoxy,

or

$R^1$  and  $R^2$  together with the carbon atom to which they are bonded form  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy, hydroxy, oxo,  $-C(=O)OR^8$ , and

$R^8$  is  $C_1$ - $C_6$ -alkyl or benzyl,

$R^3$  is hydrogen or  $C_1$ - $C_6$ -alkyl,

$R^4$  is pentan-3-yl,  $C_3$ - $C_6$ -cycloalkyl,

X is oxygen or sulfur,  
and the salts, solvates and/or solvates of the salts thereof.

2. (Original) A compound as claimed in claim 1, wherein

R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, where C<sub>1</sub>-C<sub>6</sub>-alkyl is optionally substituted by hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, and

R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>6</sup> and R<sup>7</sup> are independently of one another hydrogen, C<sub>6</sub>-C<sub>10</sub>-aryl, C<sub>1</sub>-C<sub>6</sub>-alkyl, or

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R<sup>2</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy,  
or

R<sup>1</sup> and R<sup>2</sup> together with the carbon atom to which they are bonded form C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, oxo, -C(=O)OR<sup>8</sup>, and

R<sup>8</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl or benzyl,

R<sup>3</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>4</sup> is pentan-3-yl, C<sub>4</sub>-C<sub>6</sub>-cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

3. (Currently amended) A compound as claimed in ~~claims~~ claim 1 ~~and 2~~, where

R<sup>1</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, where C<sub>1</sub>-C<sub>4</sub>-alkyl is optionally substituted by hydroxy, trifluoromethyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, and

R<sup>5</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl,

R<sup>6</sup> and R<sup>7</sup> are independently of one another hydrogen, phenyl, C<sub>1</sub>-C<sub>4</sub>-alkyl, or together with the nitrogen atom to which they are bonded form a 5- to 6-membered heterocyclyl,

R<sup>2</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, trifluoromethyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,

or

R<sup>1</sup> and R<sup>2</sup> together with the carbon atom to which they are bonded form C<sub>5</sub>-C<sub>6</sub>-cycloalkyl, C<sub>5</sub>-C<sub>6</sub>-cycloalkenyl or 5- to 6-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, hydroxy, oxo, -C(=O)OR<sup>8</sup>, and

R<sup>8</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl or benzyl,

R<sup>3</sup> is hydrogen,

R<sup>4</sup> is pentan-3-yl, C<sub>5</sub>-C<sub>6</sub>-cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

4. (Currently amended) A compound as claimed in ~~claims~~ claim 1 ~~to 3~~, where

R<sup>1</sup> is methyl, ethyl, isopropyl, trifluoromethyl, methoxycarbonyl, ethoxycarbonyl or -C(=O)NR<sup>6</sup>R<sup>7</sup>, where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

R<sup>6</sup> is phenyl and

R<sup>7</sup> is hydrogen,

$R^2$  is hydrogen, methyl, trifluoromethyl, or

$R^1$  and  $R^2$  together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

$R^3$  is hydrogen,

$R^4$  is pentan-3-yl,  $C_5$ - $C_6$ -cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

5. (Currently amended) A compound as claimed in ~~claims~~ claim 1 to 4, where

$R^1$  is methyl, ethyl, isopropyl, methoxycarbonyl, ethoxycarbonyl or  $-C(=O)NR^6R^7$ , where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

$R^6$  is phenyl and

$R^7$  is hydrogen,

$R^2$  is hydrogen, methyl, or

$R^1$  and  $R^2$  together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

$R^3$  is hydrogen,

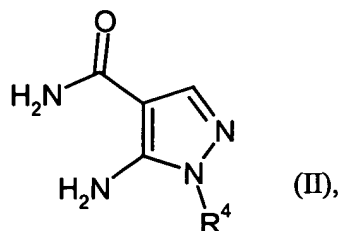
$R^4$  is pentan-3-yl,  $C_5$ - $C_6$ -cycloalkyl,

X is oxygen,

and the salts, solvates and/or solvates of the salts thereof.

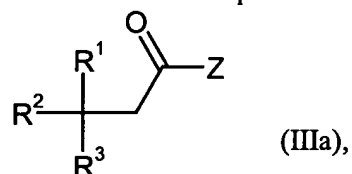
6. (Currently amended) A process for preparing compounds as claimed in claims 1 to 5, characterized in that

[A] compounds of the formula



in which R<sup>4</sup> has the meanings indicated ~~above~~ in claim 1,

are converted by reaction with a compound of the formula

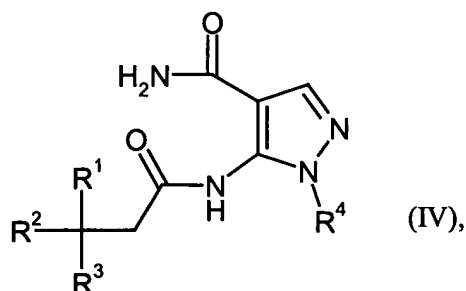


in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings indicated ~~above~~ in claim 1,

and

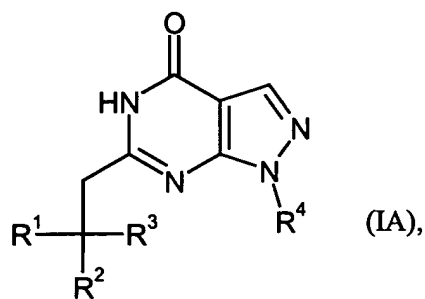
Z is chlorine or bromine,

in an inert solvent and in the presence of a base initially into compounds of the formula



in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> have the meanings indicated ~~above~~ in claim 1,

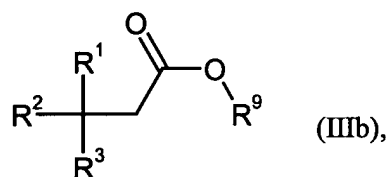
then cyclized in an inert solvent and in the presence of a base to compounds of the formula



in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> have the meanings indicated ~~above~~ in claim 1,

or

[B] compounds of the formula (II) are reacted, with direct cyclization to (IA), with a compound of the formula



in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings indicated ~~above~~ in claim 1,

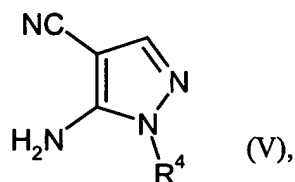
and

R<sup>9</sup> is methyl or ethyl,

in an inert solvent and in the presence of a base,

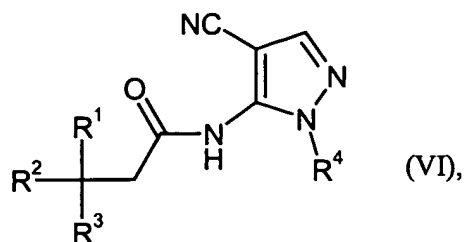
or

[C] compounds of the formula



in which R<sup>4</sup> has the meanings indicated ~~above~~ in claim 1,

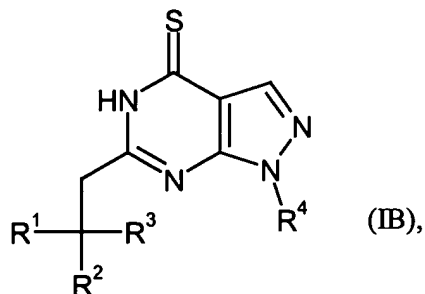
are initially converted by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula



in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated ~~above~~ in claim 1,

and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (IA),

and the compounds of the formula (IA) are where appropriate then converted by reaction with a sulfurizing agent ~~such as, for example, diphosphorus pentasulfide~~ into the thiono derivatives of the formula



in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated ~~above~~ in claim 1,

and the resulting compounds of the formula (I) are reacted where appropriate with the appropriate (i) solvents and/or (ii) bases or acids to give the solvates, salts and/or solvates of the salts thereof.

7. (Cancelled).
8. (Original) A medicament comprising at least one of the compounds as claimed in any of claims 1 to 5 and at least one pharmaceutically acceptable, essentially nontoxic carrier or excipients.



9. (Currently amended) ~~The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament~~ A method for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory comprising administering to a human or animal an effective amount of a compound of claim 1.
10. (Currently amended) The ~~use~~ method as claimed in claim 9, where the impairment is a consequence of Alzheimer's disease.
11. (Currently amended) ~~The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament~~ A method for improving perception, concentration, learning and/or memory comprising administering to a human or animal an effective amount of a compound of claim 1.
12. (Cancelled).
13. (Cancelled).